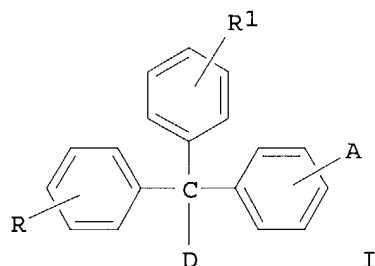


Shiao

ANSWER 35 OF 206 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:216103 CAPLUS
DOCUMENT NUMBER: 126:277722
TITLE: Preparation of trityl nucleosides as antitumors and
linkers for immunoconjugate drugs
INVENTOR(S): Patel, Vinod F.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 26 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	-----	-----	-----
US 5612474	A	19970318	US 1994-269493	19940630
PRIORITY APPLN. INFO.:			US 1994-269493	19940630
OTHER SOURCE(S):	MARPAT	126:277722		
GI				



AB The preparation and formulation of antitumors title nucleosides I (R, R¹ = NO₂, CHO, CO₂H, carboxylate, CCl₃, CF₃, Cl₃, acyl, CN, CONH₂, haloalkyl, **halogen**, SH, OH, thio, SONH₂, **amine**; A = COR₂, R₂ = alkyl, alkenyl, alkynyl, alkoxy, alkylthio, aryl, arylamino, arylthio, peptide; D = doxorubicin, gemcitabine, 2-amino-2'-deoxy-2',2'-difluoroadenosine) are reported. Thus, N-isopropyl-4-[4-methylphenyl-**phenyl**-6-N-[2-amino-9-(2'-deoxy-2',2'-difluoro-β-ribofuranosyl)purinyl)methyl]benzamide was prepared as linkers for preparation of immunoconjugates comprising drugs end antibodies. I showed antitumor activity (0.185 μg/mL < IC₅₀ < 10 μg/mL).